

Serial No.:10/553,915

Author Search

=> FILE HCAPLUS

FILE 'HCAPLUS' ENTERED AT 12:58:28 ON 07 JUN 2008

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications.

The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 7 Jun 2008 VOL 148 ISS 24
FILE LAST UPDATED: 6 Jun 2008 (20080606/ED)

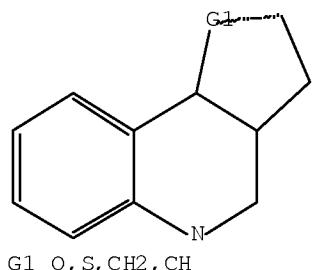
New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

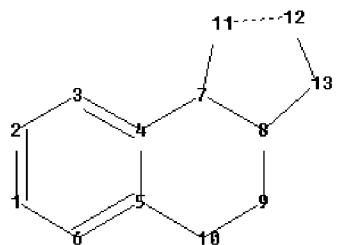
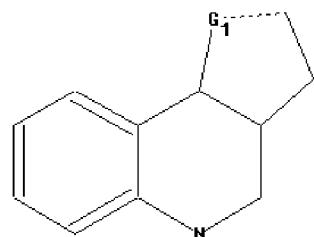
'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

=> D QUE L25

L6 STR



Structure attributes must be viewed using STN Express query preparation:
Uploading strA.str



ring nodes :
 1 2 3 4 5 6 7 8 9 10 11 12 13
 ring bonds :
 1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 7-11 8-9 8-13 9-10 11-12 12-13

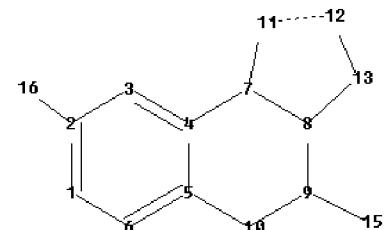
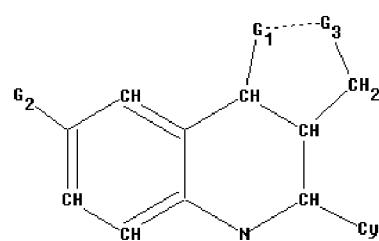
exact/norm bonds :
 4-7 5-10 7-8 7-11 8-9 8-13 9-10 11-12 12-13
 normalized bonds :
 1-2 1-6 2-3 3-4 4-5 5-6

G1:O,S,CH₂,CH

Match level :
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
 11:Atom 12:Atom 13:Atom

L8 13557 SEA FILE=REGISTRY SSS FUL L6
 L11 STR
 *** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation:
 Uploading strC.str



chain nodes :

15 16

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13

chain bonds :

2-16 9-15

ring bonds :
 1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 7-11 8-9 8-13 9-10 11-12 12-13
 exact/norm bonds :
 2-16 4-7 5-10 7-8 7-11 8-9 8-13 9-10 9-15 11-12 12-13
 normalized bonds :
 1-2 1-6 2-3 3-4 4-5 5-6

G1:O,S,CH2,CH

G2:S,N

G3:CH2,CH

Match level :
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
 11:Atom 12:Atom 13:Atom 15:Atom 16:CLASS

L13 2767 SEA FILE=REGISTRY SUB=L8 SSS FUL L11
 L15 14 SEA FILE=HCAPLUS ABB=ON PLU=ON L13
 L16 8 SEA FILE=HCAPLUS ABB=ON PLU=ON L15 AND (PRY<=2004 OR
 AY<=2004 OR PY<=2004)
 L17 1519 SEA FILE=HCAPLUS ABB=ON PLU=ON BECKER C?/AU
 L18 88 SEA FILE=HCAPLUS ABB=ON PLU=ON COMSTOCK J?/AU
 L19 59 SEA FILE=HCAPLUS ABB=ON PLU=ON MICHNE W?/AU
 L20 2618 SEA FILE=HCAPLUS ABB=ON PLU=ON MURPHY M?/AU
 L21 636 SEA FILE=HCAPLUS ABB=ON PLU=ON PHILLIPS E?/AU
 L22 61 SEA FILE=HCAPLUS ABB=ON PLU=ON ROSAMOND J?/AU
 L23 671 SEA FILE=HCAPLUS ABB=ON PLU=ON SIMPSON T?/AU
 L24 5636 SEA FILE=HCAPLUS ABB=ON PLU=ON (L17 OR L18 OR L19 OR L20 OR
 L21 OR L22 OR L23)
 L25 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L24 AND L16

=> D IBIB ED ABS L25 HITSTR 1

L25 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2004:995974 HCAPLUS Full-text
 DOCUMENT NUMBER: 141:424118
 TITLE: A preparation of cyclopenta[c]quinoline derivatives,
 useful as positive modulators of nicotinic
 acetylcholine receptors
 INVENTOR(S): Becker, Christopher; Comstock,
 Jeanne; Michne, William F.;
 Murphy, Megan; Phillips, Eifion;
 Rosamond, James D.; Simpson, Thomas R.
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
 SOURCE: PCT Int. Appl., 35 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	-----	-----	-----	-----
WO 2004098600	A1	20041118	WO 2004-GB1934	20040504 <--

W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

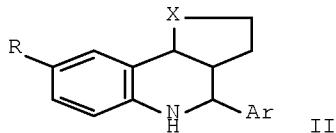
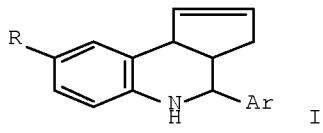
AU 2004237130	A1	20041118	AU 2004-237130	20040504 <--
CA 2524019	A1	20041118	CA 2004-2524019	20040504 <--
EP 1631288	A1	20060308	EP 2004-731052	20040504 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004010050	A	20060425	BR 2004-10050	20040504 <--
CN 1784230	A	20060607	CN 2004-80012314	20040504 <--
JP 2006525302	T	20061109	JP 2006-506220	20040504 <--
MX 2005PA11785	A	20060126	MX 2005-PA11785	20051101 <--
NO 2005005766	A	20051205	NO 2005-5766	20051205 <--
US 20070179172	A1	20070802	US 2006-553915	20060713 <--
RITY APPLN. INFO.:			SE 2003-1320	A 20030506 <--
			WO 2004-GB1934	W 20040504 <--

OTHER SOURCE(S): MARRAT 141-424118 NO 2001-061001 N 20010601

OTHER SOURCE(S): MARPAI 141:424118
ED Entered STN: 18 Nov 2004

ED Entered SIN: 19 NOV 2004
GT

G1



AB The invention relates to a preparation of cyclopenta[c]quinoline derivs. of formulas I and II [wherein: X is O, S, or CH₂; R₁ is OH, NH₂, N(alkyl)₂, SO₂NH₂, or C(O)N(alkyl)₂, etc.; Ar is furyl, pyridyl, thieryl, Ph, or naphthyl, etc.], useful as pos. modulators of nicotinic acetylcholine receptors. For instance, cyclopenta[c]quinoline derivative I (Ar is 1-naphthyl; R = SO₂NH₂) was prepared from 1-naphthalenecarboxaldehyde, cyclopentadiene, and 4-aminobenzenesulfonamide with a yield of 69%. The invention compds. were screened for biol. activity in the following tests: a) Xenopus oocyte current recording, and b) Ca⁺⁺ flux imaging [the invention compds. cause 100% potentiation (2-fold increase) of baseline current].

IT 794586-91-7P 794586-92-8P

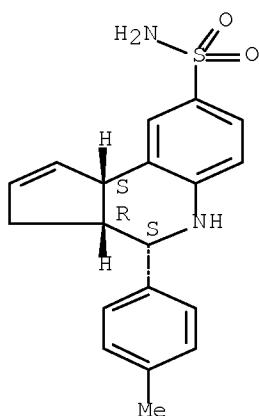
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of cyclopenta[c]quinoline derivs. useful as pos. modulators of nicotinic acetylcholine receptors)

RN 794586-91-7 HCAPLUS

CN 3H-Cyclopenta[c]quinoline-8-sulfonamide, 3a, 4, 5, 9b-tetrahydro-4-(4-methylphenyl)-, (3aR, 4S, 9bS)- (CA INDEX NAME)

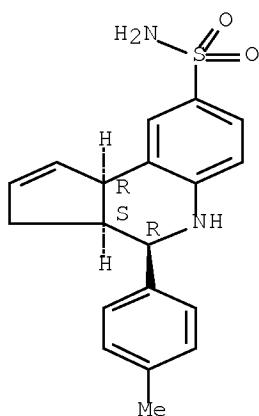
Absolute stereochemistry. Rotation (+).



RN 794586-92-8 HCPLUS

CN 3H-Cyclopenta[c]quinoline-8-sulfonamide, 3a,4,5,9b-tetrahydro-4-(4-methylphenyl)-, (3aS,4R,9bR)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

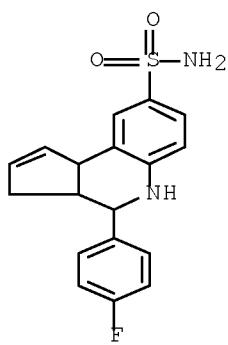
IT 318466-00-1P 353483-92-8P 354820-38-5P
794586-70-2P 794586-75-7P 794586-79-1P
794586-80-4P 794586-82-6P 794586-83-7P
794586-84-8P 794586-85-9P 794586-87-1P
794586-88-2P 794586-89-3P 794586-90-6P
794586-93-9P 794586-94-0P 794586-95-1P
794586-96-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of cyclopenta[c]quinoline derivs. useful as pos. modulators of nicotinic acetylcholine receptors)

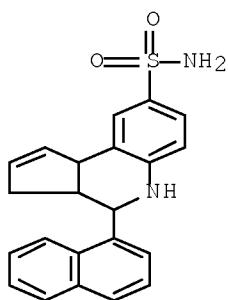
RN 318466-00-1 HCPLUS

CN 3H-Cyclopenta[c]quinoline-8-sulfonamide, 4-(4-fluorophenyl)-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



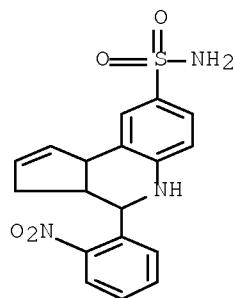
RN 353483-92-8 HCAPLUS

CN 3H-Cyclopenta[c]quinoline-8-sulfonamide, 3a,4,5,9b-tetrahydro-4-(1-naphthalenyl)- (CA INDEX NAME)



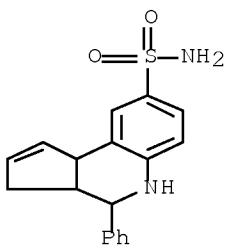
RN 354820-38-5 HCAPLUS

CN 3H-Cyclopenta[c]quinoline-8-sulfonamide, 3a,4,5,9b-tetrahydro-4-(2-nitrophenyl)- (CA INDEX NAME)



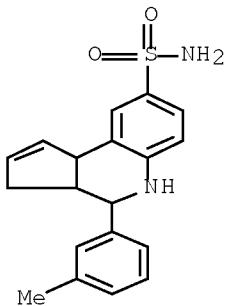
RN 794586-70-2 HCAPLUS

CN 3H-Cyclopenta[c]quinoline-8-sulfonamide, 3a,4,5,9b-tetrahydro-4-phenyl- (CA INDEX NAME)



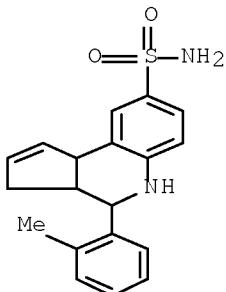
RN 794586-75-7 HCAPLUS

CN 3H-Cyclopenta[c]quinoline-8-sulfonamide, 3a,4,5,9b-tetrahydro-4-(3-methylphenyl)- (CA INDEX NAME)



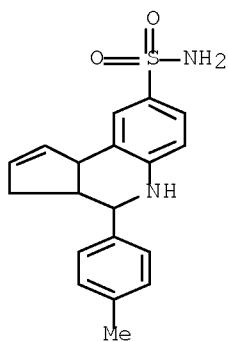
RN 794586-79-1 HCAPLUS

CN 3H-Cyclopenta[c]quinoline-8-sulfonamide, 3a,4,5,9b-tetrahydro-4-(4-methylphenyl)- (CA INDEX NAME)



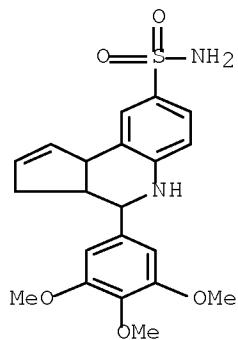
RN 794586-80-4 HCAPLUS

CN 3H-Cyclopenta[c]quinoline-8-sulfonamide, 3a,4,5,9b-tetrahydro-4-(3-methylphenyl)- (CA INDEX NAME)



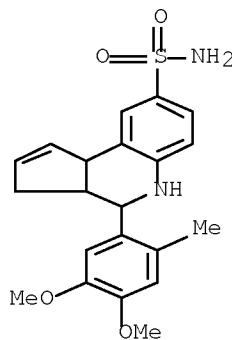
RN 794586-82-6 HCPLUS

CN 3H-Cyclopenta[c]quinoline-8-sulfonamide, 3a,4,5,9b-tetrahydro-4-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)



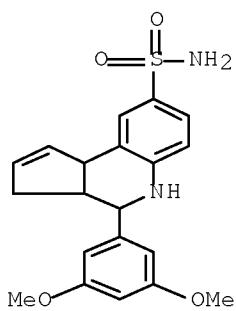
RN 794586-83-7 HCPLUS

CN 3H-Cyclopenta[c]quinoline-8-sulfonamide, 4-(4,5-dimethoxy-2-methylphenyl)-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



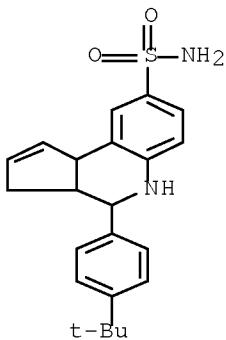
RN 794586-84-8 HCPLUS

CN 3H-Cyclopenta[c]quinoline-8-sulfonamide, 4-(3,5-dimethoxyphenyl)-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



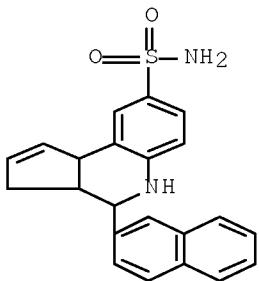
RN 794586-85-9 HCAPLUS

CN 3H-Cyclopenta[c]quinoline-8-sulfonamide, 4-[4-(1,1-dimethylethyl)phenyl]-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



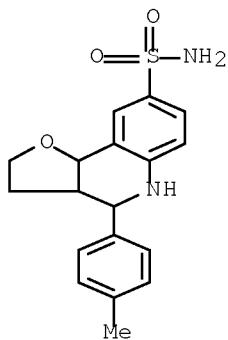
RN 794586-87-1 HCAPLUS

CN 3H-Cyclopenta[c]quinoline-8-sulfonamide, 3a,4,5,9b-tetrahydro-4-(2-naphthalenyl)- (CA INDEX NAME)



RN 794586-88-2 HCAPLUS

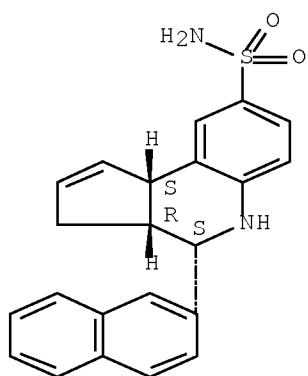
CN Furo[3,2-c]quinoline-8-sulfonamide, 2,3,3a,4,5,9b-hexahydro-4-(4-methylphenyl)- (CA INDEX NAME)



RN 794586-89-3 HCAPLUS

CN 3H-Cyclopenta[c]quinoline-8-sulfonamide, 3a,4,5,9b-tetrahydro-4-(2-naphthalenyl)-, (3aR,4S,9bS)- (CA INDEX NAME)

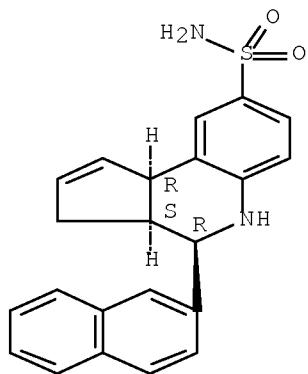
Absolute stereochemistry.



RN 794586-90-6 HCAPLUS

CN 3H-Cyclopenta[c]quinoline-8-sulfonamide, 3a,4,5,9b-tetrahydro-4-(2-naphthalenyl)-, (3aS,4R,9bR)- (CA INDEX NAME)

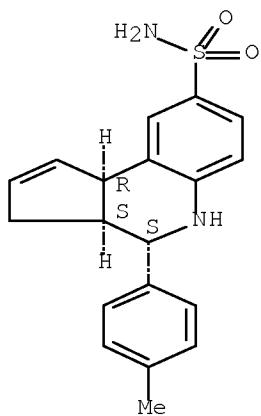
Absolute stereochemistry.



RN 794586-93-9 HCPLUS

CN 3H-Cyclopenta[c]quinoline-8-sulfonamide, 3a,4,5,9b-tetrahydro-4-(4-methylphenyl)-, (3aS,4S,9bR)- (CA INDEX NAME)

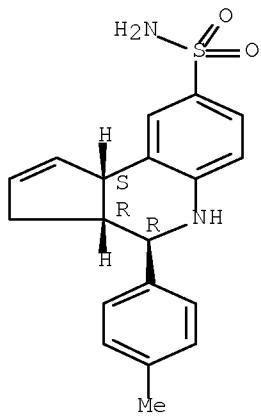
Absolute stereochemistry.



RN 794586-94-0 HCPLUS

CN 3H-Cyclopenta[c]quinoline-8-sulfonamide, 3a,4,5,9b-tetrahydro-4-(4-methylphenyl)-, (3aR,4R,9bS)- (CA INDEX NAME)

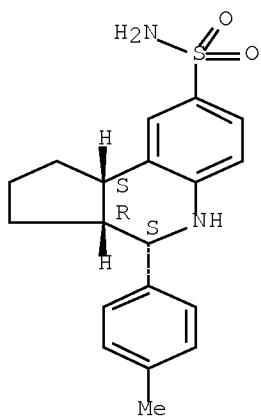
Absolute stereochemistry.



RN 794586-95-1 HCPLUS

CN 1H-Cyclopenta[c]quinoline-8-sulfonamide, 2,3,3a,4,5,9b-hexahydro-4-(4-methylphenyl)-, (3aR,4S,9bS)- (CA INDEX NAME)

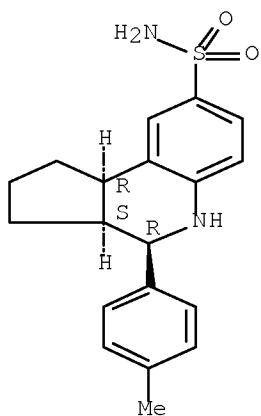
Absolute stereochemistry.



RN 794586-96-2 HCPLUS

CN 1H-Cyclopenta[c]quinoline-8-sulfonamide, 2,3,3a,4,5,9b-hexahydro-4-(4-methylphenyl)-, (3aS,4R,9bR)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

25

THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Serial No.:10/553,915

Structure Search

=> FILE HCPLUS
FILE 'HCPLUS' ENTERED AT 12:59:11 ON 07 JUN 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

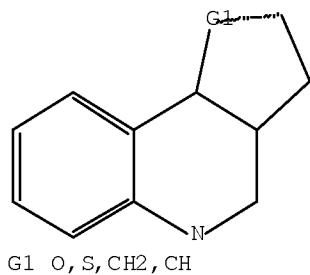
FILE COVERS 1907 - 7 Jun 2008 VOL 148 ISS 24
FILE LAST UPDATED: 6 Jun 2008 (20080606/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'HCPLUS' FILE

=> D QUE L16
L6 STR



Structure attributes must be viewed using STN Express query preparation.

L8 13557 SEA FILE=REGISTRY SSS FUL L6
L11 STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

L13 2767 SEA FILE=REGISTRY SUB=L8 SSS FUL L11
L15 14 SEA FILE=HCPLUS ABB=ON PLU=ON L13
L16 8 SEA FILE=HCPLUS ABB=ON PLU=ON L15 AND (PRY<=2004 OR
AY<=2004 OR PY<=2004)

=> S L16 NOT L25

L38

7 L16 NOT L25

=> FILE WPIX
FILE 'WPIX' ENTERED AT 12:59:32 ON 07 JUN 2008
COPYRIGHT (C) 2008 THOMSON REUTERS

FILE LAST UPDATED: 4 JUN 2008 <20080604/UP>
MOST RECENT THOMSON SCIENTIFIC UPDATE: 200835 <200835/DW>
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE
>>> Now containing more than 1.1 million chemical structures in DCR <<<

>>> IPC Reform backfile reclassifications have been loaded to the end of March 2008. No update date (UP) has been created for the reclassified documents, but they can be identified by 20060101/UPIC and 20061231/UPIC, 20070601/UPIC, 20071001/UPIC, 20071130/UPIC and 20080401/UPIC.
ECLA reclassifications to April and US national classifications to the end of January 2008 have also been loaded. Update dates 20080401/UPEC and /UPNC have been assigned to these. <<<

FOR A COPY OF THE DERWENT WORLD PATENTS INDEX STN USER GUIDE,
PLEASE VISIT:
http://www.stn-international.de/training_center/patents/stn_guide.pdf

FOR DETAILS OF THE PATENTS COVERED IN CURRENT UPDATES, SEE
<http://scientific.thomsonreuters.com/support/patents/coverage/latestupdates/>

EXPLORE DERWENT WORLD PATENTS INDEX IN STN ANAVIST, VERSION 2.0:
http://www.stn-international.com/archive/presentations/DWPIAnaVist2_0710.pdf

>>> HELP for European Patent Classifications see HELP ECLA, HELP ICO <<<
>>> Updated PDF files in the following links:
http://www.stn-international.de/stndatabases/details/ico_0803.zip
http://www.stn-international.de/stndatabases/details/epc_0803.zip
Supplement of all changed ECLA items:
[>>> http://www.stn-international.de/stndatabases/details/ecla_0805s.zip <<<](http://www.stn-international.de/stndatabases/details/ecla_0805s.zip)

>>> Please note that the COPYRIGHT notification has changed <<<
'BI,ABEX' IS DEFAULT SEARCH FIELD FOR 'WPIX' FILE

=> D QUE L33
L30 STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

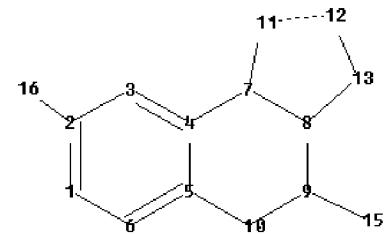
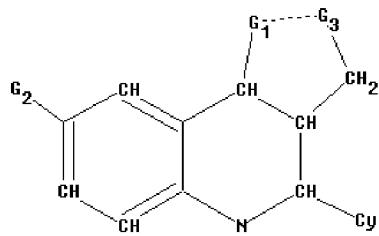
Structure attributes must be viewed using STN Express query preparation:
Uploading strD.str

N^*-SO_2^1

SO_2^2-N

$\text{15}^*-\text{20}^1$

$\text{21}^*-\text{22}^2$



chain nodes :

15 16 19 20 21 22
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13
chain bonds :
2-16 9-15 19-20 21-22
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 7-11 8-9 8-13 9-10 11-12 12-13

exact/norm bonds :
2-16 4-7 5-10 7-8 7-11 8-9 8-13 9-10 9-15 11-12 12-13 19-20 21-22
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

G1:O,S,CH2,CH

G2:[*1],[*2]

G3:CH2,CH

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 15:Atom 16:CLASS 19:CLASS 20:CLASS 21:CLASS
22:CLASS

L32 26 SEA FILE=WPIX SSS FUL L30
L33 0 SEA FILE=WPIX ABB=ON PLU=ON L32 AND (PRY<=2004 OR AY<=2004
OR PY<=2004)

=> FILE BEILSTEIN

FILE 'BEILSTEIN' ENTERED AT 12:59:53 ON 07 JUN 2008

COPYRIGHT (c) 2008 Beilstein-Institut zur Foerderung der Chemischen Wissenschaften
licensed to Beilstein GmbH and MDL Information Systems GmbH

FILE LAST UPDATED ON April 1, 2008

FILE COVERS 1771 TO 2008.

*** FILE CONTAINS 10.322,808 SUBSTANCES ***

>>> PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For more detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST. *
* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE *
* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE *
* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS. *
* FOR PRICE INFORMATION SEE HELP COST *

>>> Price change as of January 1st, 2008: Connect Time and Structure
Search fees re-introduced. See NEWS and HELP COST <<<

=> D QUE L35

L30 STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.
L35 0 SEA FILE=BEILSTEIN SSS FUL L30

=> FILE MARPAT
FILE 'MARPAT' ENTERED AT 13:00:05 ON 07 JUN 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 American Chemical Society (ACS)

FILE CONTENT: 1961-PRESENT VOL 148 ISS 21 (20080530/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 20080090937 17 APR 2008
DE 102006048130 10 APR 2008
EP 1909102 09 APR 2008
JP 2008098097 24 APR 2008
WO 2008046285 24 APR 2008
GB 2441892 19 MAR 2008
FR 2907005 18 APR 2008
RU 2322475 20 APR 2008
CA 2562661 05 APR 2008

Expanded G-group definition display now available.

Effective December 15th the iteration and answer limits in MARPAT have increased from 100,000 to 200,000 for both on-line and batch searches. For more information on MARPAT search limits, type HELP SLIMITS at an arrow prompt.

=> D QUE L37
L30 STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.
L37 4 SEA FILE=MARPAT SSS FUL L30

=> DUP REM L38 L33 L35 L37
L33 HAS NO ANSWERS
L35 HAS NO ANSWERS
DUPLICATE IS NOT AVAILABLE IN 'BEILSTEIN'.
ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE
FILE 'HCAPLUS' ENTERED AT 13:00:21 ON 07 JUN 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'MARPAT' ENTERED AT 13:00:21 ON 07 JUN 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 American Chemical Society (ACS)
PROCESSING COMPLETED FOR L38
PROCESSING COMPLETED FOR L33
PROCESSING COMPLETED FOR L35
PROCESSING COMPLETED FOR L37
L39 11 DUP REM L38 L33 L35 L37 (0 DUPLICATES REMOVED)
ANSWERS '1-7' FROM FILE HCAPLUS

=> D IBIB ED ABS HITSTR L39 1-7; D IBIB AB QHIT L39 8-11

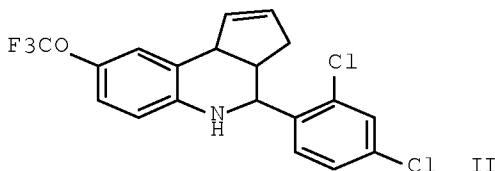
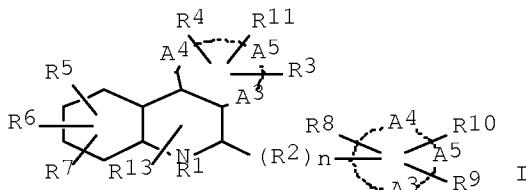
L39 ANSWER 1 OF 11 HCPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2004:696357 HCPLUS Full-text
 DOCUMENT NUMBER: 141:243351
 TITLE: Preparation of tetrahydroquinolines as nuclear
 receptors modulators
 INVENTOR(S): Koutnikova, Hana; Sierra, Michael; Braun-Egles, Anne;
 Marsol, Claire; Klotz, Evelyne; Lehmann, Juergen
 PATENT ASSIGNEE(S): Carex S.A., Fr.
 SOURCE: PCT Int. Appl., 166 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004072046	A2	20040826	WO 2004-EP1280	20040211 <--
WO 2004072046	A3	20041021		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRIORITY APPLN. INFO.:			EP 2003-360025	A 20030212 <--
			EP 2003-360029	A 20030212 <--
			US 2003-456955P	P 20030325 <--
			EP 2003-360083	A 20030704 <--

OTHER SOURCE(S): MARPAT 141:243351

ED Entered STN: 26 Aug 2004

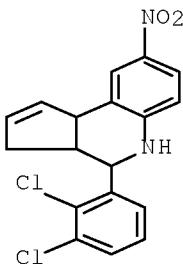
GI



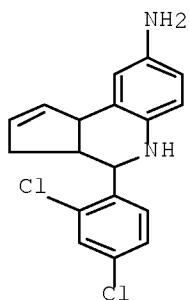
AB Title compds. represented by the formula I [wherein R1 = H, Cl, F, (cyclo)alkyl, alkylcycloalkyl, CF₃, etc.; R2, R14 = independently CH₂, (CH₂)A₁(CH₂) or (CH₂)A₁(CH₂)A₂(CH₂); a, b, c = independently 0-4; A₁, A₂ = independently CO, O, SO₂, etc.; R₃-R₄, R₈-R₁₁ = independently H, amino, alkyl, halo, etc.; R₁₂ = H, Cl, CF₃, (cyclyl)alkyl, etc.; R₁₃ = H, hydroxy, alkyl, carboxylic acid, etc.; R₅-R₇ = independently (R₁₄)-R₁₂; n = 0-6; A₃-A₅ = independently C, N, O, S; and analogs, derivs., solvates or salts thereof] were prepared as liver-receptors (LXR) modulators. For example, reaction of 4-trifluoromethoxyphenylamine with 2,4-dichlorobenzaldehyde and cyclopentadiene gave II in 70% yield. II was tested for dose response induction of ABCA1, FAS, SREBP1c and Angptp13 gene expression, HDL cholesterol plasma and liver triglyceride levels change. In addition, I were tested for binding activity with human LXR α and LXR β (Ki = 1000-3000 nM), activation of gene implicated in cholesterol efflux, etc. Thus, I and their pharmaceutical compns. are useful for the prevention or treatment of hyperlipidemia, obesity, type II diabetes, atherosclerosis, ischemic heart disease, peripheral vascular disease, cerebral vascular disease, hypercholesterolemia, hypertriglyceridemia, pancreatitis or coronary artery disease.

IT 353484-19-2P 471916-92-4P, CRX 000765
 746661-74-5P, CRX 000794 746662-36-2P, CRX 001018
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of tetrahydroquinolines as nuclear receptor modulators)

RN 353484-19-2 HCPLUS
 CN 3H-Cyclopenta[c]quinoline, 4-(2,3-dichlorophenyl)-3a,4,5,9b-tetrahydro-8-nitro- (CA INDEX NAME)

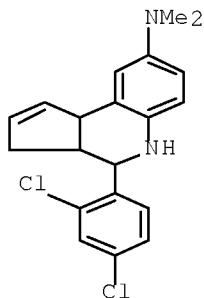


RN 471916-92-4 HCPLUS
 CN 3H-Cyclopenta[c]quinolin-8-amine, 4-(2,4-dichlorophenyl)-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



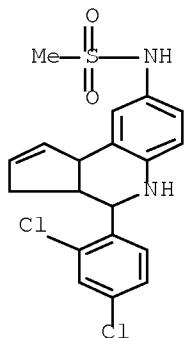
RN 746661-74-5 HCAPLUS

CN 3H-Cyclopenta[c]quinolin-8-amine, 4-(2,4-dichlorophenyl)-3a,4,5,9b-tetrahydro-N,N-dimethyl- (CA INDEX NAME)



RN 746662-36-2 HCAPLUS

CN Methanesulfonamide, N-[4-(2,4-dichlorophenyl)-3a,4,5,9b-tetrahydro-3H-cyclopenta[c]quinolin-8-yl]- (CA INDEX NAME)



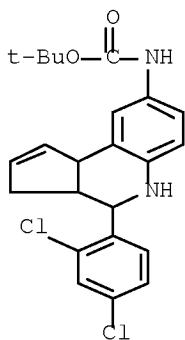
IT 745788-80-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tetrahydroquinolines as nuclear receptor modulators)

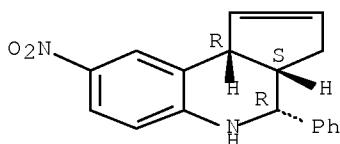
RN 745788-80-1 HCAPLUS

CN Carbamic acid, [4-(2,4-dichlorophenyl)-3a,4,5,9b-tetrahydro-3H-cyclopenta[c]quinolin-8-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L39 ANSWER 2 OF 11 HCPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2002:127450 HCPLUS Full-text
 DOCUMENT NUMBER: 136:386008
 TITLE: Urea nitrate catalyzed imino Diels-Alder reactions: synthesis of cyclopentaquinolines, pyranoquinolines, and furoquinoline derivatives
 AUTHOR(S): Anniyappan, Marimuthu; Nagarajan, Rajagopal; Perumal, Paramasivan T.
 CORPORATE SOURCE: Organic Chemistry Division, Central Leather Research Institute, Chennai, 600 020, India
 SOURCE: Synthetic Communications (2002), 32(1), 99-103
 CODEN: SYNCV; ISSN: 0039-7911
 PUBLISHER: Marcel Dekker, Inc.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 136:386008
 ED Entered STN: 19 Feb 2002
 AB Urea nitrate is an efficient catalyst for the imino Diels-Alder reaction of aldimines with cyclopentadiene, 3,4-dihydropyran and dihydrofuran that is reported for the first time. One pot synthesis of cyclopentaquinolines from benzaldehyde, aromatic amines with cyclopentadiene catalyzed by urea nitrate is also reported.
 IT 122059-89-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of quinoline derivs. by imino Diels-Alder reactions using urea nitrate catalyst)
 RN 122059-89-6 HCPLUS
 CN 3H-Cyclopenta[c]quinoline, 3a,4,5,9b-tetrahydro-8-nitro-4-phenyl-, (3aR,4S,9bS)-rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 3 OF 11 HCPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:503697 HCPLUS Full-text

DOCUMENT NUMBER: 135:228480

TITLE: Clay/water mixtures - a heterogeneous and ecologically efficient catalyst for the three-component stereoselective synthesis of tetrahydroquinolines

AUTHOR(S): Sartori, Giovanni; Bigi, Franca; Maggi, Raimondo; Mazzacani, Alessandro; Oppici, Giovanni

CORPORATE SOURCE: Dipartimento di Chimica Organica e Industriale dell'Universita, Parma, 43100, Italy

SOURCE: European Journal of Organic Chemistry (2001), (13), 2513-2518

CODEN: EJOCFK; ISSN: 1434-193X
PUBLISHER: Wiley-VCH Verlag GmbH

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 12 Jul 2001

AB The three-component synthesis of tetrahydroquinolines from aromatic amines, aromatic aldehydes, and cyclopentadiene was efficiently performed in water in the presence of com. bentonite Bieliaca. The overall process involves the rapid initial production of corresponding imines, which subsequently undergo aza-cycloaddn. processes with cyclopentadiene, affording products in good yield and with excellent selectivity. The cycloaddn. step is regiospecific and stereospecific, exclusively giving the endo product. It was possible to reuse the catalyst several times without lowering its efficiency. The process represents a clean and environmentally friendly route for the production of a class of natural products displaying a wide range of biol. activity.

IT 122059-89-6P

RL: IMF (Industrial manufacture); PREP (Preparation)
(clean and efficient bentonite Bieliaca catalyst in stereoselective aza-cycloaddn. of amines and aldehydes and cyclopentadiene in preparation

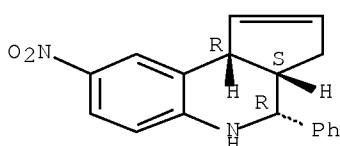
of

tetrahydroquinolines)

RN 122059-89-6 HCPLUS

CN 3H-Cyclopenta[c]quinoline, 3a,4,5,9b-tetrahydro-8-nitro-4-phenyl-, (3aR,4S,9bS)-rel- (CA INDEX NAME)

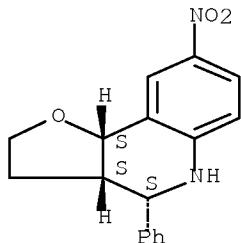
Relative stereochemistry.



REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

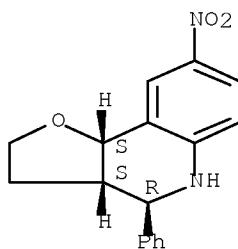
L39 ANSWER 4 OF 11 HCPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1999:479420 HCPLUS Full-text
 DOCUMENT NUMBER: 131:257455
 TITLE: Lanthanide Chloride Catalyzed Imino Diels-Alder Reaction. One-Pot Synthesis of Pyrano[3,2-c]- and Furo[3,2-c]quinolines
 AUTHOR(S): Ma, Yun; Qian, Changtao; Xie, Meihua; Sun, Jie
 CORPORATE SOURCE: Laboratory of Organometallic Chemistry Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences, Shanghai, 200032, Peop. Rep. China
 SOURCE: Journal of Organic Chemistry (1999), 64(17), 6462-6467
 CODEN: JOCEAH; ISSN: 0022-3263
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 131:257455
 ED Entered STN: 04 Aug 1999
 AB GdCl₃ is an effective catalyst both in the reaction of imines with dihydropyran or dihydrofuran and in the one-pot reaction of anilines with aldehydes and dihydropyran or dihydrofuran, giving pyrano- and furo[3,2-c]quinolines in high yields under mild conditions.
 IT 244775-73-3P 244775-74-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (gadolinium chloride-catalyzed Diels-Alder reaction of anilines with aldehydes and dihydropyran or dihydrofuran)
 RN 244775-73-3 HCPLUS
 CN Furo[3,2-c]quinoline, 2,3,3a,4,5,9b-hexahydro-8-nitro-4-phenyl-, (3aR,4R,9bR)-rel- (CA INDEX NAME)

Relative stereochemistry.

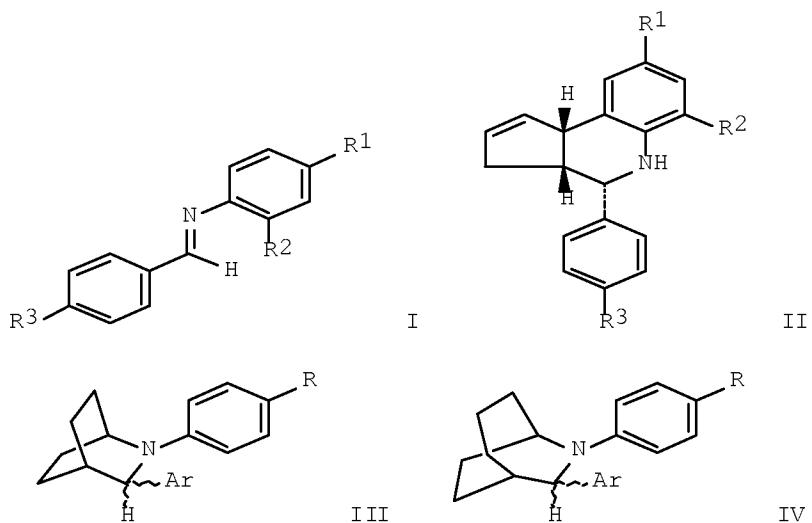


RN 244775-74-4 HCPLUS
 CN Furo[3,2-c]quinoline, 2,3,3a,4,5,9b-hexahydro-8-nitro-4-phenyl-, (3aR,4S,9bR)-rel- (CA INDEX NAME)

Relative stereochemistry.



L39 ANSWER 5 OF 11 HCPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1998:104075 HCPLUS Full-text
 DOCUMENT NUMBER: 128:180323
 TITLE: Indium trichloride (InCl₃) catalyzed imino Diels-Alder reactions: an efficient synthesis of cyclopentaquinolines, azabicyclooctanones and azabicyclononanes
 AUTHOR(S): Babu, Govindarajulu; Perumal, Paramasivan T.
 CORPORATE SOURCE: Organic Chemistry Division, Central Leather Research Institute, Adyar, Chennai, 600 020, India
 SOURCE: Tetrahedron (1998), 54(8), 1627-1638
 CODEN: TETRAB; ISSN: 0040-4020
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 ED Entered STN: 21 Feb 1998
 GI



AB Anhydrous indium trichloride (InCl₃) is found to catalyze the imino Diels-Alder reactions of Schiff's bases I (R₁ = H, NO₂, OMe, Cl, R₂ = H, Me, CO₂H,

Et, NO₂, R3 = H, Me, Cl) with cyclopentadiene, cyclohexen-2-one and cyclohepten-2-one which resulted in facile synthesis of cyclopentaquinolines II, azabicyclooctanones III, and previously unreported series of azabicyclononanones IV.

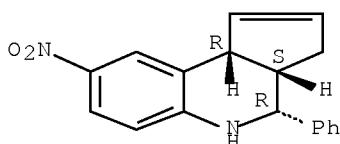
IT 122059-89-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of cyclopentaquinolines, azabicyclooctanones, and
azabicyclononanones by indium trichloride-catalyzed Diels-Alder
reactions)

RN 122059-89-6 HCAPLUS

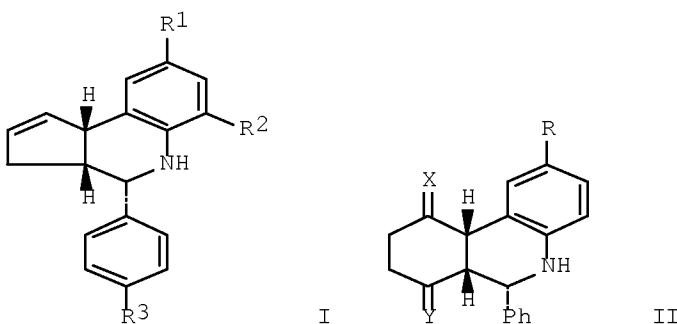
CN 3H-Cyclopenta[c]quinoline, 3a,4,5,9b-tetrahydro-8-nitro-4-phenyl-,
(3aR,4S,9bS)-rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT.

L39 ANSWER 6 OF 11 HCPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:468534 HCPLUS Full-text
DOCUMENT NUMBER: 127:161687
TITLE: Imino Diels-Alder reactions catalyzed by indium trichloride (InCl₃). Facile synthesis of quinoline and phenanthridinone derivatives
AUTHOR(S): Babu, Govindarajulu; Perumal, Paramasivan T.
CORPORATE SOURCE: Organic Chemistry Division, Central Leather Research Institute, Chennai, 600 020, India
SOURCE: Tetrahedron Letters (1997), 38(28), 5025-5026
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 127:161687
ED Entered STN: 26 Jul 1997
GI



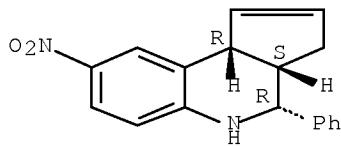
AB Anhydrous indium trichloride (InCl₃) catalyzes the imino Diels-Alder reaction and results in facile synthesis of quinoline derivs. I (R₁ = H, NO₂, OMe, Cl, R₂ = H, Me, CO₂H, Et, NO₂, R₃ = H, Me, Cl). A previously unreported series of phenanthridinones II (R = H, NO₂, OMe, Cl, X = O, Y = H₂; X = H₂, Y = O) was obtained by the treatment of cyclohexenone with Schiff bases.

IT 122059-89-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (InCl₃-catalyzed Diels-Alder reaction of Schiff bases with cyclohexenone or cyclopentadiene)

RN 122059-89-6 HCPLUS

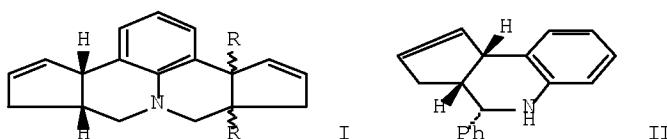
CN 3H-Cyclopenta[c]quinoline, 3a,4,5,9b-tetrahydro-8-nitro-4-phenyl-, (3aR,4S,9bS)-rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 7 OF 11 HCPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1989:497058 HCPLUS Full-text
 DOCUMENT NUMBER: 111:97058
 ORIGINAL REFERENCE NO.: 111:16321a,16324a
 TITLE: Role reversal in the cyclocondensation of cyclopentadiene with heterodienophiles derived from arylamines and aldehydes: synthesis of novel tetrahydroquinolines
 AUTHOR(S): Grieco, Paul A.; Bahsas, Ali
 CORPORATE SOURCE: Dep. Chem., Indiana Univ., Bloomington, IN, 47405, USA
 SOURCE: Tetrahedron Letters (1988), 29(46), 5855-8
 CODEN: TELEAY; ISSN: 0040-4039
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 111:97058
 ED Entered STN: 16 Sep 1989
 GI



AB Immonium ions derived from arylamines and aldehydes function not as heterodienophiles but rather as heterodienes in the presence of cyclopentadiene, giving rise to novel tetrahydroquinolines. Thus, PhNH⁺:CH₂CF₃CO₂⁻, prepared in situ from PhNH₂, CF₃CO₂H, and HCHO, reacted with cyclopentadiene to give a mixture of pentacyclic quinolizine derivs. I (R = α -H, β -H). The structures of I (R = α -H) and of tetrahydroquinoline derivative II, prepared from PhCH:NPh, CF₃CO₂H, and cyclopentadiene, were determined by x-ray crystallog.

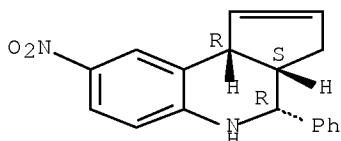
IT 122059-89-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 122059-89-6 HCPLUS

CN 3H-Cyclopenta[c]quinoline, 3a,4,5,9b-tetrahydro-8-nitro-4-phenyl-,
(3aR,4S,9bS)-rel- (CA INDEX NAME)

Relative stereochemistry.



L39 ANSWER 8 OF 11 MARPAT COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 142:261406 MARPAT Full-text

TITLE: Preparation of tetrahydroquinoline derivatives as hepatocyte nuclear factor 4 modulators

INVENTOR(S): Michellys, Pierre; Chen, Jyun-hung; Meyer, Hoyt; Karanewsky, Donald

PATENT ASSIGNEE(S): Ligand Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 97 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005016255	A2	20050224	WO 2004-US23093	20040716
WO 2005016255	A3	20050616		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,				

SN, TD, TG

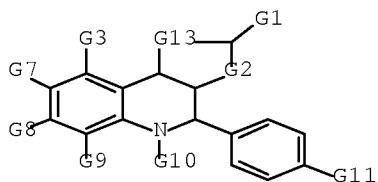
PRIORITY APPLN. INFO.:

US 2003-488071P 20030716

OTHER SOURCE(S): CASREACT 142:261406

AB Title compds. represented by the formula I [wherein R1 = H, halo, (fluoro)methyl; R2-R5 = independently H, halo, (sulfon)amide, etc.; R6 = H, (halo)alkyl, (halo)alkenyl, (halo)alkynyl; R7 = CH2OH, CHO, CO2H or C(R8)(R9)CO2H; R8, R9 = independently H, OH, (fluoro)methyl; and pharmaceutically acceptable salts, esters, amides or prodrugs thereof] were prepared as hepatocyte nuclear factor 4 α (HNF-4 α) receptor modulators. For example, condensation of aniline with Me 4-formylbenzoate, followed by reaction with 3,4-dihydro-2H-pyran and hydrolysis, gave II. Selected I were tested for HNF-4 α binding activity, agonistic activity and antagonistic activity. Thus, I and their pharmaceutical compns. are useful as HNF-4 α receptor modulators for the treatment of syndrome X, noninsulin dependent diabetes mellitus, cancer, obesity, cardiovascular disease and dyslipidemia (no data).

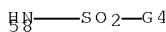
MSTR 1



G2 = (1-3) 21



G7 = 58



G13 = 0

Patent location:

claim 1

Note:

or pharmaceutically acceptable salts, esters, amides or prodrugs

L39 ANSWER 9 OF 11 MARPAT COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 141:424118 MARPAT Full-text

TITLE: A preparation of cyclopenta[cl]quinoline derivatives, useful as positive modulators of nicotinic acetylcholine receptors

INVENTOR(S): Becker, Christopher; Comstock, Jeanne; Michne, William F.; Murphy, Megan; Phillips, Eifion; Rosamond, James D.; Simpson, Thomas R.

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
 SOURCE: PCT Int. Appl., 35 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004098600	A1	20041118	WO 2004-GB1934	20040504
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004237130	A1	20041118	AU 2004-237130	20040504
CA 2524019	A1	20041118	CA 2004-2524019	20040504
EP 1631288	A1	20060308	EP 2004-731052	20040504
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004010050	A	20060425	BR 2004-10050	20040504
CN 1784230	A	20060607	CN 2004-80012314	20040504
JP 2006525302	T	20061109	JP 2006-506220	20040504
MX 2005PA11785	A	20060126	MX 2005-PA11785	20051101
NO 2005005766	A	20051205	NO 2005-5766	20051205
US 20070179172	A1	20070802	US 2006-553915	20060713
PRIORITY APPLN. INFO.:			SE 2003-1320	20030506
			WO 2004-GB1934	20040504

AB The invention relates to a preparation of cyclopenta[c]quinoline derivs. of formulas I and II [wherein: X is O, S, or CH₂; R₁ is OH, NH₂, N(alkyl)₂, SO₂NH₂, or C(O)N(alkyl)₂, etc.; Ar is furyl, pyridyl, thienyl, Ph, or naphthyl, etc.], useful as pos. modulators of nicotinic acetylcholine receptors. For instance, cyclopenta[c]quinoline derivative I (Ar is 1-naphthyl; R = SO₂NH₂) was prepared from 1-naphthalenecarboxaldehyde, cyclopentadiene, and 4-aminobenzenesulfonamide with a yield of 69%. The invention compds. were screened for biol. activity in the following tests: a) Xenopus oocyte current recording, and b) Ca⁺⁺ flux imaging [the invention compds. cause 100% potentiation (2-fold increase) of baseline current].

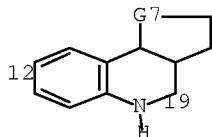
MSTR 1

2^{G1}—G⁹—2^{G8}

G1 = 38

3^{G4}—SO₂—G2

G4 = NH
 G7 = O
 G8 = furyl (opt. substd. by (1-3) G3)
 G9 = 12-29 19-28



Patent location: claim 1
 Note: or pharmaceutically acceptable salts
 Stereochemistry: or diastereoisomers, enantiomers

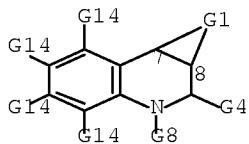
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 10 OF 11 MARPAT COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 140:253456 MARPAT Full-text
 TITLE: Preparation of 1,2,3,4-tetrahydro-4-phenylquinolines and related compounds as sodium channel ligands for the treatment of pain
 INVENTOR(S): Hennies, Hagen-Heinrich; Maul, Corinna; Przewosny, Michael; Sundermann, Bernd
 PATENT ASSIGNEE(S): Gruenenthal G.m.b.H., Germany
 SOURCE: Ger. Offen., 55 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

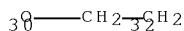
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10236910	A1	20040311	DE 2002-10236910	20020812
WO 2004022542	A2	20040318	WO 2003-EP8889	20030811
WO 2004022542	A3	20040603		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003258598	A1	20040329	AU 2003-258598	20030811
PRIORITY APPLN. INFO.:			DE 2002-10236910	20020812
			WO 2003-EP8889	20030811
AB	Title compds. I [Rb and R2 together = (CH ₂) _n , CH=CHCH ₂ , CH ₂ CH=CH, etc.; n = 3-10; Ra = H; R3 = H, alkyl, cycloalkyl, etc.; R4 = R4a, ZR4a; R4a = H, alkyl, alkenyl, etc.; Z = alkyl, alkenyl, alkynyl, etc.; R5, R6, R7, R8 = H, halo, CN, etc.] and their pharmaceutically acceptable salts were prepared in sodium			

channel [³H]batrachotoxin (BTX) displacement assays, 261-examples of compds. I exhibited 00.0-91.7% binding, e.g., the affinity of tetrahydroquinoline was 91.7%.

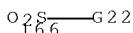
MSTR 1A



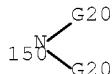
G1 = 30-7 32-8



G4 = Ph (opt. substd.)
 G14 = 166



G22 = 150



Patent location:

claim 1

Note:

oxygen in G18 and G20 is free radical

Note:

additional ring formation also claimed

Note:

and/or salts with physiologically acceptable acids

Note:

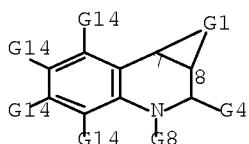
substitution is restricted

Stereochemistry:

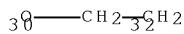
and racemates, enantiomers, diastereomers or

mixtures

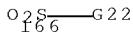
MSTR 1C



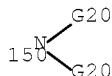
G1 = 30-7 32-8



G4 = anthracenyl
 G14 = 166



G22 = 150



Patent location: claim 1
 Note: oxygen in G18 and G20 is free radical
 Note: additional ring formation also claimed
 Note: and/or salts with physiologically acceptable acids
 Note: substitution is restricted
 Stereochemistry: and racemates, enantiomers, diastereomers or mixtures

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 11 OF 11 MARPAT COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 132:64182 MARPAT Full-text
 TITLE: Preparation of di- and tetrahydroquinolinylindoles and related compounds as antibacterials.
 INVENTOR(S): Cuny, Gregory D.; Hauske, James R.; Hoemann, Michael Z.; Rossi, Richard F.; Xie, Roger Leijie
 PATENT ASSIGNEE(S): Sepracor, Inc., USA
 SOURCE: PCT Int. Appl., 130 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9967238	A2	19991229	WO 1999-US14277	19990625
WO 9967238	A3	20030417		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,				

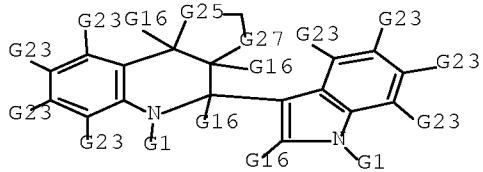
MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
 TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AM, AZ, BY, KG, KZ, MD,
 RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT,
 LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR,
 NE, SN, TD, TG

AU 9945835 A 20000110 AU 1999-45835 19990625
 US 6180640 B1 20010130 US 1999-344619 19990625

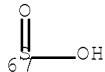
PRIORITY APPLN. INFO.: US 1998-90624P 19980625
 WO 1999-US14277 19990625

AB Title compds. [I; A, B = atoms to form (substituted) mono- or polycyclic cycloalkyl, cycloalkenyl, aryl, heteroaryl, heterocyclyl; X, Y = CR₂, NR, O, PR, S, AsR, Se; R, R₁, R₂, R₃, R₃₁, R₄, R₄₁ = H, halo, alkyl, alkenyl, alkynyl, OH, alkoxy, silyloxy, amino, NO₂, SH, alkylthio, amide, phosphonate, acetal, aryl, heteroaryl, N₃, carbamate, hydroxamate, sulfonamide, thiocarbamate, guanidino, amidino, etc.; R₅, R₆ = halo, alkyl, alkenyl, alkynyl, OH, alkoxy, silyloxy, amino, SH, alkylthio, imine, amide, phosphoryl, phosphonate, carbonyl, CO₂H, carboxamide, ketone, aldehyde, cyano, carbamate, etc.], were prepared. Thus, 4-(3-piperidinyl)propargylaniline (preparation given), N-Teoc-5-bromoindole-3-carboxaldehyde, and cat. TsOH were refluxed in C₆H₆ to give a residue which was stirred with 2,3-dihydrofuran and ytterbium triflate in MeCN to give 45% 8-[3-(N-piperidinyl)propargyl]-2,3,3a,4,5,9b-hexahydro-4-(5-bromo-3-cis,trans-N-Teoc-indolyl)furo[2,3-c]quinoline. This was stirred with TBAF in THF followed by chromatog. to give 78% 45% 8-[3-(N-piperidinyl)propargyl]-2,3,3a,4,5,9b-hexahydro-4-(5-bromo-3-cis-indolyl)furo[2,3-c]quinoline. The latter at 2% in pig wounds inoculated with staphylococcus aureus showed log CFU/mL = 5.92 after 24 h, vs. 6.54 for untreated controls.

MSTR 2



G17 = NH (opt. substd.)
 G18 = 67



G23 = 132

₁G₂¹⁷-G₁₈

G25 = O
G27 = (1-3) CH₂
Patent location:

claim 19

=> => FILE HCAPLUS
 FILE 'HCAPLUS' ENTERED AT 13:13:53 ON 07 JUN 2008
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
 COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 7 Jun 2008 VOL 148 ISS 24
 FILE LAST UPDATED: 6 Jun 2008 (20080606/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

=> D QUE L40
 L5 1 SEA FILE=REGISTRY ABB=ON PLU=ON "3H-CYCLOPENTA(C)QUINOLINE-8-SULFONAMIDE, 3A,4,5,9B-TETRAHYDRO-4-(2-METHYLPHENYL)-"/CN
 L40 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L5

=> D IBIB ED ABS HITSTR L40 1

L40 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2004:995974 HCAPLUS Full-text
 DOCUMENT NUMBER: 141:424118
 TITLE: A preparation of cyclopenta[c]quinoline derivatives, useful as positive modulators of nicotinic acetylcholine receptors
 INVENTOR(S): Becker, Christopher; Comstock, Jeanne; Michne, William F.; Murphy, Megan; Phillips, Eifion; Rosamond, James D.; Simpson, Thomas R.
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
 SOURCE: PCT Int. Appl., 35 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004098600	A1	20041118	WO 2004-GB1934	20040504
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,				

RW: TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
 EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
 SN, TD, TG

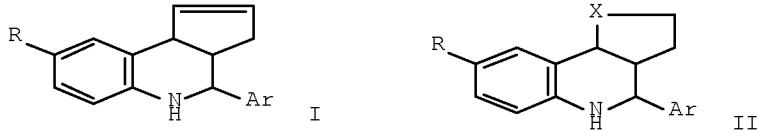
AU 2004237130	A1	20041118	AU 2004-237130	20040504
CA 2524019	A1	20041118	CA 2004-2524019	20040504
EP 1631288	A1	20060308	EP 2004-731052	20040504
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004010050	A	20060425	BR 2004-10050	20040504
CN 1784230	A	20060607	CN 2004-80012314	20040504
JP 2006525302	T	20061109	JP 2006-506220	20040504
MX 2005PA11785	A	20060126	MX 2005-PA11785	20051101
NO 2005005766	A	20051205	NO 2005-5766	20051205
US 20070179172	A1	20070802	US 2006-553915	20060713
RITY APPLN. INFO.:			SE 2003-1320	A 20030506
			WO 2004-GB1934	W 20040504

OTHER SOURCE(S): MARPAT 141:424118

ED Entered STN: 19 Nov 2004

ED Entered SIN: 19 NOV 2004
GI

G1



AB The invention relates to a preparation of cyclopenta[c]quinoline derivs. of formulas I and II [wherein: X is O, S, or CH2; R1 is OH, NH2, N(alkyl)2, SO2NH2, or C(O)N(alkyl)2, etc.; Ar is furyl, pyridyl, thienyl, Ph, or naphthyl, etc.], useful as pos. modulators of nicotinic acetylcholine receptors. For instance, cyclopenta[c]quinoline derivative I (Ar is 1-naphthyl; R = SO2NH2) was prepared from 1-naphthalenecarboxaldehyde, cyclopentadiene, and 4-aminobenzenesulfonamide with a yield of 69%. The invention compds. were screened for biol. activity in the following tests: a) Xenopus oocyte current recording, and b) Ca++ flux imaging [the invention compds. cause 100% potentiation (2-fold increase) of baseline current].

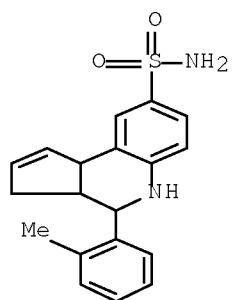
IT 794586-79-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of cyclopenta[c]quinoline derivs. useful as pos. modulators of nicotinic acetylcholine receptors)

RN 794586-79-1 HCAPLUS

CN 3H-Cyclopenta[c]quinoline-8-sulfonamide, 3a,4,5,9b-tetrahydro-4-(2-methylphenyl)- (CA INDEX NAME)



REFERENCE COUNT:

25

THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Search History

L1 1 SEA ABB=ON PLU=ON US2006-553915/APPS

FILE 'REGISTRY' ENTERED AT 12:15:03 ON 07 JUN 2008

L2 39 SEA ABB=ON PLU=ON (100-52-7/BI OR 104-87-0/BI OR 110-02-1/BI OR 318466-00-1/BI OR 353483-92-8/BI OR 354820-38-5/BI OR 459-57-4/BI OR 529-20-4/BI OR 552-89-6/BI OR 620-23-5/BI OR 63-74-1/BI OR 66-77-3/BI OR 66-99-9/BI OR 7311-34-4/BI OR 7721-62-2/BI OR 794586-70-2/BI OR 794586-75-7/BI OR 794586-79-1 /BI OR 794586-80-4/BI OR 794586-82-6/BI OR 794586-83-7/BI OR 794586-84-8/BI OR 794586-85-9/BI OR 794586-87-1/BI OR 794586-88 -2/BI OR 794586-89-3/BI OR 794586-90-6/BI OR 794586-91-7/BI OR 794586-92-8/BI OR 794586-93-9/BI OR 794586-94-0/BI OR 794586-95 -1/BI OR 794586-96-2/BI OR 794586-97-3/BI OR 794586-98-4/BI OR 794586-99-5/BI OR 794587-00-1/BI OR 86-81-7/BI OR 939-97-9/BI)

L3 17 SEA ABB=ON PLU=ON L2 AND N>=2 AND S=1 AND O=2

L4 17 SEA ABB=ON PLU=ON L2 AND N=2 AND S=1 AND O=2

L5 1 SEA ABB=ON PLU=ON "3H-CYCLOPENTA(C)QUINOLINE-8-SULFONAMIDE, 3A, 4, 5, 9B-TETRAHYDRO-4-(2-METHYLPHENYL)-"/CN

FILE 'REGISTRY' ENTERED AT 12:24:53 ON 07 JUN 2008

L6 STRUCTURE uploaded

L7 31 SEA SSS SAM L6

FILE 'REGISTRY' ENTERED AT 12:26:52 ON 07 JUN 2008

L8 13557 SEA SSS FUL L6

L9 STRUCTURE uploaded

L10 50 SEA SUB=L8 SSS SAM L9

L11 STRUCTURE uploaded

L12 50 SEA SUB=L8 SSS SAM L11

L13 2767 SEA SUB=L8 SSS FUL L11

FILE 'REGISTRY' ENTERED AT 12:36:50 ON 07 JUN 2008

L14 6 SEA ABB=ON PLU=ON L13 AND L7

FILE 'HCAPLUS' ENTERED AT 12:37:02 ON 07 JUN 2008

L15 14 SEA ABB=ON PLU=ON L13

L16 8 SEA ABB=ON PLU=ON L15 AND (PRY<=2004 OR AY<=2004 OR PY<=2004)

L17 1519 SEA ABB=ON PLU=ON BECKER C?/AU

L18 88 SEA ABB=ON PLU=ON COMSTOCK J?/AU

L19 59 SEA ABB=ON PLU=ON MICHNE W?/AU

L20 2618 SEA ABB=ON PLU=ON MURPHY M?/AU

L21 636 SEA ABB=ON PLU=ON PHILLIPS E?/AU

L22 61 SEA ABB=ON PLU=ON ROSAMOND J?/AU

L23 671 SEA ABB=ON PLU=ON SIMPSON T?/AU

L24 5636 SEA ABB=ON PLU=ON (L17 OR L18 OR L19 OR L20 OR L21 OR L22 OR L23)

L25 1 SEA ABB=ON PLU=ON L24 AND L16

FILE 'WPIX' ENTERED AT 12:40:45 ON 07 JUN 2008

L26 6 SEA SSS SAM L11

L27 65 SEA SSS FUL L11

L28 127 SEA ABB=ON PLU=ON L27/DCR

L29 82 SEA ABB=ON PLU=ON L28 AND (PRY<=2004 OR AY<=2004 OR PY<=2004)

L30 STRUCTURE uploaded

L31 4 SEA SSS SAM L30

L32 26 SEA SSS FUL L30

L33 0 SEA ABB=ON PLU=ON L32 AND (PRY<=2004 OR AY<=2004 OR PY<=2004)

FILE 'BEILSTEIN' ENTERED AT 12:56:08 ON 07 JUN 2008
L34 0 SEA SSS SAM L30
L35 0 SEA SSS FUL L30

FILE 'MARPAT' ENTERED AT 12:56:50 ON 07 JUN 2008
L36 0 SEA SSS SAM L30
L37 4 SEA SSS FUL L30

FILE 'HCAPLUS' ENTERED AT 12:59:11 ON 07 JUN 2008
L38 7 SEA ABB=ON PLU=ON L16 NOT L25

FILE 'HCAPLUS, MARPAT' ENTERED AT 13:00:21 ON 07 JUN 2008
L39 11 DUP REM L38 L33 L35 L37 (0 DUPLICATES REMOVED)

FILE 'HCAPLUS' ENTERED AT 13:13:22 ON 07 JUN 2008
L40 1 SEA ABB=ON PLU=ON L5